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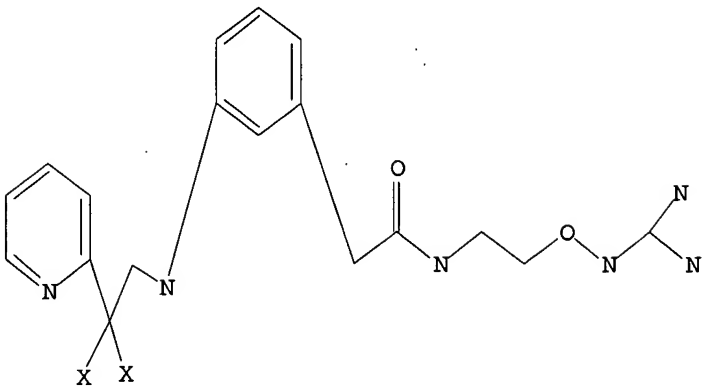

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Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 17:27:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 48 TO ITERATE

100.0% PROCESSED 48 ITERATIONS 18 ANSWERS
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L31 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:902181 CAPLUS
DN 141:366135
TI Preparation of (pyridinylalkylamino)benzeneacetamides and related compounds as protease inhibitors for use as anticoagulants
IN Kreutter, Kevin D.; Lee, Lily; Lu, Tianbao; Mohan, Venkatraman; Patel, Sharmila; Huang, Hui; Xu, Guozhang; Fitzgerald, Mark
PA 3-Dimensional Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

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OS   MARPAT 141:366135


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L31  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         2004:902181  CAPLUS
DOCUMENT NUMBER:          141:366135
TITLE:                    Preparation of (pyridinylalkylamino)benzeneacetamides
                          and related compounds as protease inhibitors for use
                          as anticoagulants
INVENTOR(S):              Kreutter, Kevin D.; Lee, Lily; Lu, Tianbao; Mohan,
                          Venkatraman; Patel, Sharmila; Huang, Hui; Xu,
                          Guozhang; Fitzgerald, Mark
PATENT ASSIGNEE(S):       3-Dimensional Pharmaceuticals, Inc., USA
SOURCE:                   PCT Int. Appl., 102 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

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PRIORITY APPLN. INFO.:                      US 2003-461421P     P  20030410
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OTHER SOURCE(S): MARPAT 141:366135
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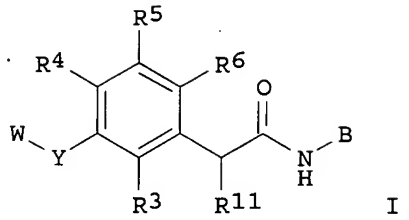

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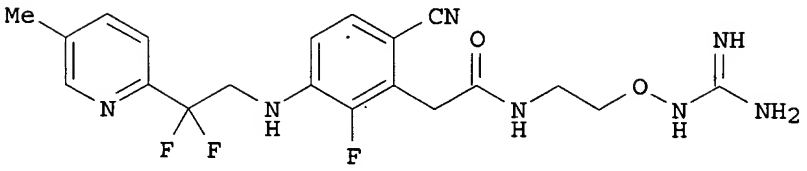


II

AB Title phenylacetamides I [wherein R1 = R2, R2(CH2)tC(R12)2, R2(OR12)C(CH2)p, (R2)2(OR12)C(CH2)p, R2C(R12)2(CH2)q, R2CH2C(R12)2(CH2)q, (R2)2CH(CH2)r, R2O(CH2)p, (R2)2CF(CH2)r, etc.; R2 = (un)substituted Ph, naphthyl, biphenyl, heterocyclyl, (bi)cycloalkyl; R3 = H, halo, OH; R4, R5 = independently H, halo, (halo)alkyl, alkenyl, alkynyl, hydroxy(alkyl), (halo)alkoxy, CN, NO2, carboxy, etc.; R6 = CN, acetylenyl; R11 = H, halo, alkyl; R12 = H, halo, CF3, (un)substituted alkyl; A = (un)substituted bicyclic heterocyclyl, heteroaryl; B = (un)substituted CH2(CH2)mCH2(CH2)nXNHC(=NH)NH2, (CH2)mCH2(CH2)n-aminopyridyl; (CH2)mCH2(CH2)nA; W = R1, R1SO2; X = O, NH, CH=N; Y = NH, O; m = 0-2; n = 0-2; p = 1-4; q = 0-2; r = 0-4; t = 0-3; and solvates, hydrates, or pharmaceutically acceptable salt thereof] were prepared as inhibitors of proteases, especially trypsin-like serine proteases, such as thrombin and factor Xa. Compns. for inhibiting loss of blood platelets, inhibiting formation of blood platelet aggregates, inhibiting formation of fibrin, inhibiting thrombus formation, and inhibiting embolus formation are described. I and their compns. are also useful as anticoagulants either embedded in or phys. linked to materials used in the manufacture of devices used in blood collection, blood circulation, and blood storage, such as catheters, blood dialysis machines, blood collection syringes and tubes, blood lines and stents (no data). Addnl., the compds. can be detectably labeled and employed for in vivo imaging of thrombi (no data). Thus, saponification of [6-cyano-3-[[2,2-difluoro-2-(5-methylpyridin-2-yl)ethyl]amino]-2-fluorophenyl]acetic acid Et ester was saponified to the acid (no data) and condensed with N,N'-di(tert-butoxycarbonyl)-N''-(2-aminoethoxy)guanidine•HCl to give II. Compds. of the invention inhibited the ability of human thrombin to hydrolyze N-succinyl-Ala-Ala-Pro-Arg-p-nitroanilide with Ki values ranging from 0.0003 μM to 1.3 μM.

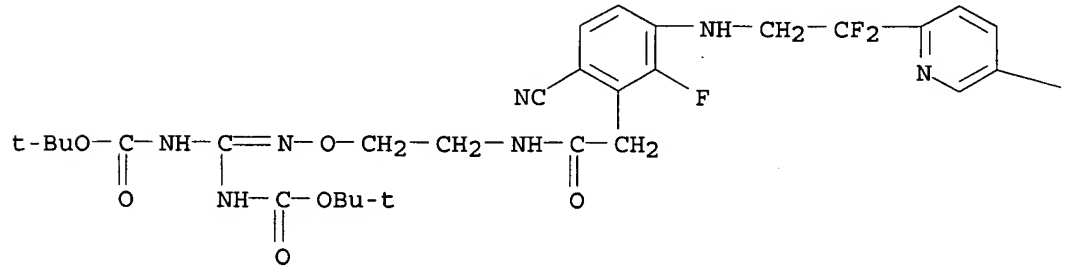
IT 780769-45-1P, N-[2-[[[N,N'-Bis(tert-butoxycarbonyl)amidino]amino]oxy]ethyl]-2-[3-[[2,2-difluoro-2-(5-methylpyridin-2-yl)ethyl]amino]-6-cyano-2-fluorophenyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (pyridinylalkylamino)benzeneacetamides and related compds. as protease inhibitors)

RN 780769-45-1 CAPLUS

CN 5-Oxa-2,4,8-triazadec-2-enoic acid, 10-[6-cyano-3-[[2,2-difluoro-2-(5-

methyl-2-pyridinyl)ethyl]amino]-2-fluorophenyl]-3-[[(1,1-dimethylethoxy)carbonyl]amino]-9-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



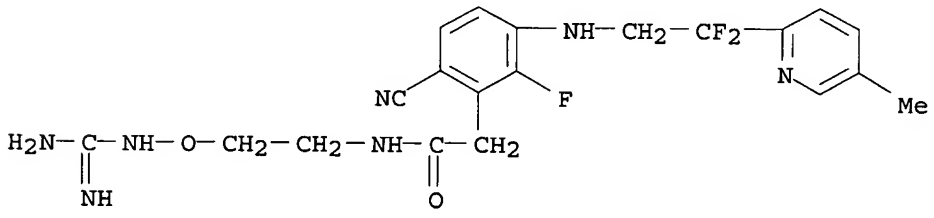
PAGE 1-B

Me

IT 780769-38-2P, N-[2-(Amidinoaminooxy)ethyl]-2-[3-[[2,2-difluoro-2-(5-methylpyridin-2-yl)ethyl]amino]-6-cyano-2-fluorophenyl]acetamide
780769-60-0P, N-[2-(Amidinoaminooxy)ethyl]-2-[3-[[2,2-difluoro-2-(6-methylpyridin-2-yl)ethyl]amino]-6-cyano-2-fluorophenyl]acetamide
780769-72-4P, N-[2-(Amidinoaminooxy)ethyl]-2-[3-[[2,2-difluoro-2-(pyridin-2-yl)ethyl]amino]-6-cyano-2-fluorophenyl]acetamide
780769-86-0P, N-[2-(Amidinoaminooxy)ethyl]-2-[3-[[2,2-difluoro-2-(5-chloropyridin-2-yl)ethyl]amino]-6-cyano-2-fluorophenyl]acetamide
780769-95-1P, N-[2-(Amidinoaminooxy)ethyl]-2-[3-[[2,2-difluoro-2-(N-oxido-5-chloropyridin-2-yl)ethyl]amino]-6-cyano-2-fluorophenyl]acetamide 780769-97-3P, N-[2-(Amidinoaminooxy)ethyl]-2-[6-cyano-3-[[2,2-difluoro-2-(1-oxidopyridin-2-yl)ethyl]amino]-2-fluorophenyl]acetamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(protease inhibitor; preparation of (pyridinylalkylamino)benzeneacetamides and related compds. as protease inhibitors)

RN 780769-38-2 CAPLUS

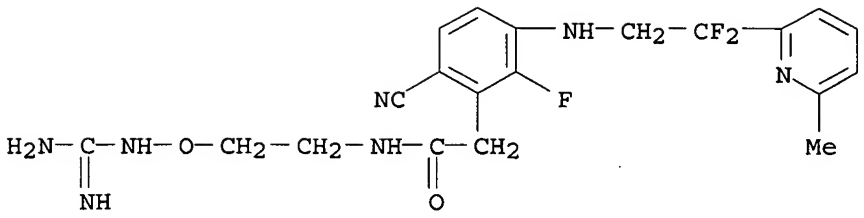
CN Benzeneacetamide, N-[2-[[(aminoiminomethyl)amino]oxy]ethyl]-6-cyano-3-[[2,2-difluoro-2-(5-methyl-2-pyridinyl)ethyl]amino]-2-fluoro- (9CI) (CA INDEX NAME)



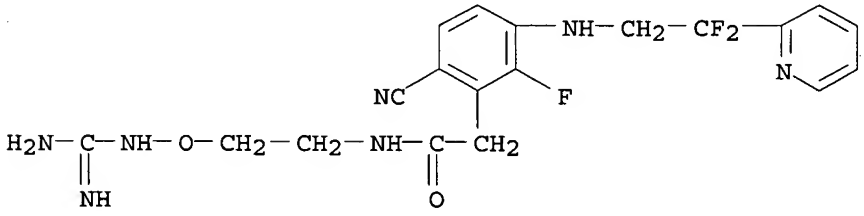
RN 780769-60-0 CAPLUS

CN Benzeneacetamide, N-[2-[[(aminoiminomethyl)amino]oxy]ethyl]-6-cyano-3-[[2,2-difluoro-2-(6-methyl-2-pyridinyl)ethyl]amino]-2-fluoro- (9CI) (CA

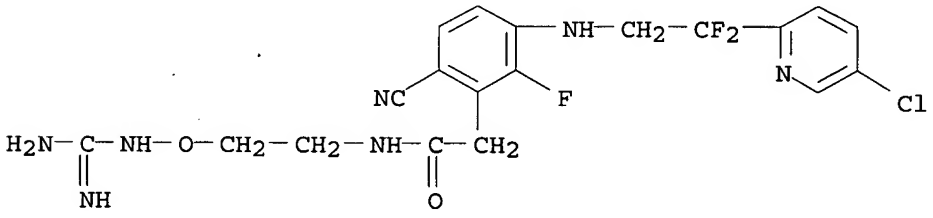
INDEX NAME)



RN 780769-72-4 CAPLUS
CN Benzeneacetamide, N-[2-[[(aminoiminomethyl)amino]oxy]ethyl]-6-cyano-3-[[2,2-difluoro-2-(2-pyridinyl)ethyl]amino]-2-fluoro- (9CI) (CA INDEX NAME)



RN 780769-86-0 CAPLUS
CN Benzeneacetamide, N-[2-[[(aminoiminomethyl)amino]oxy]ethyl]-3-[[2-(5-chloro-2-pyridinyl)-2,2-difluoroethyl]amino]-6-cyano-2-fluoro- (9CI) (CA INDEX NAME)



RN 780769-95-1 CAPLUS
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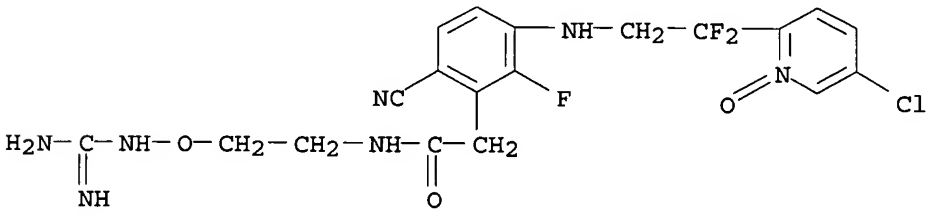

RN 780769-97-3 CAPLUS
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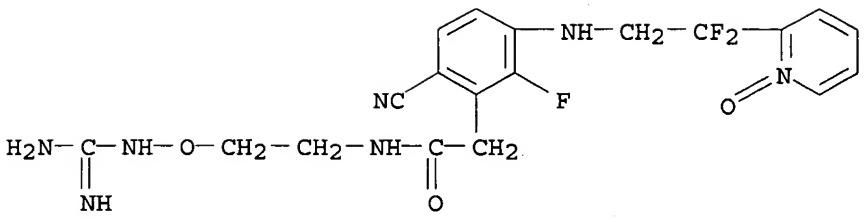
INDEX NAME)



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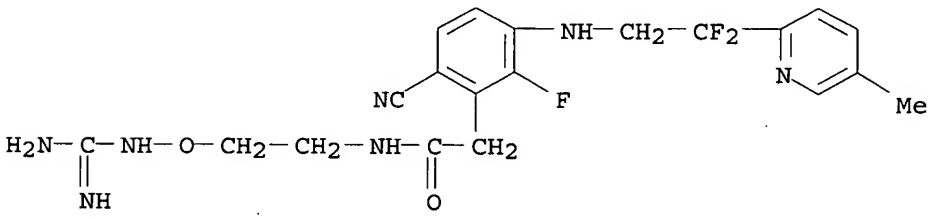
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protease inhibitor; preparation of (pyridinylalkylamino)benzeneacetamides and related compds. as protease inhibitors)

RN 780769-46-2 CAPLUS

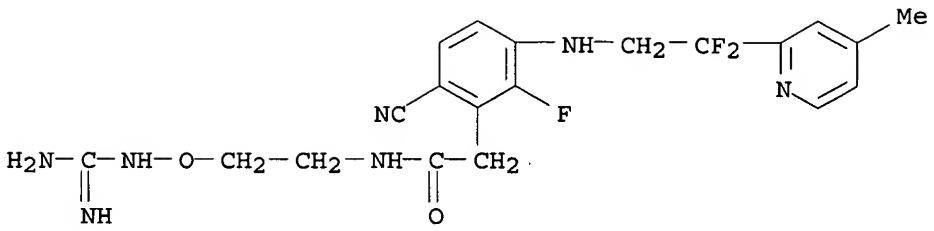
CN Benzeneacetamide, N-[2-[[(aminoiminomethyl)amino]oxy]ethyl]-6-cyano-3-[[2,2-difluoro-2-(5-methyl-2-pyridinyl)ethyl]amino]-2-fluoro-, hydrochloride (10:11) (9CI) (CA INDEX NAME)



●11/10 HCl

RN 780769-58-6 CAPLUS

CN Benzeneacetamide, N-[2-[[(aminoiminomethyl)amino]oxy]ethyl]-6-cyano-3-[[2,2-difluoro-2-(4-methyl-2-pyridinyl)ethyl]amino]-2-fluoro- (9CI) (CA INDEX NAME)



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CN Benzeneacetamide, N-[2-[[(aminoiminomethyl)amino]oxy]ethyl]-6-cyano-3-[[2,2-difluoro-2-(4-methyl-2-pyridinyl)ethyl]amino]-2-fluoro-, trifluoroacetate (9CI) (CA INDEX NAME)

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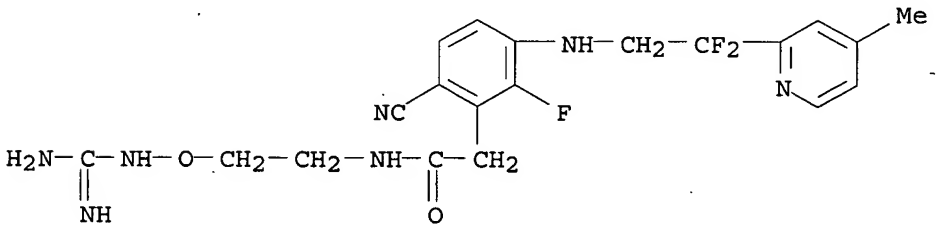

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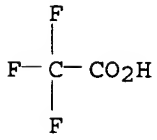
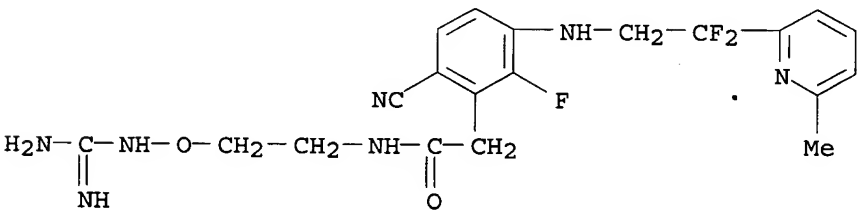
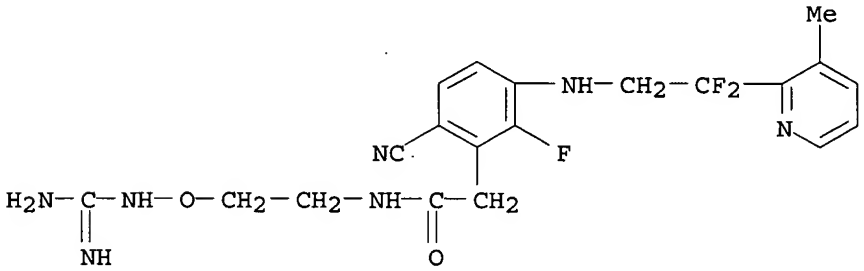


RN 780769-61-1 CAPLUS
CN Benzeneacetamide, N-[2-[[(aminoiminomethyl)amino]oxy]ethyl]-6-cyano-3-[[2,2-difluoro-2-(6-methyl-2-pyridinyl)ethyl]amino]-2-fluoro-, hydrochloride (6:11) (9CI) (CA INDEX NAME)



●11/6 HCl

RN 780769-62-2 CAPLUS
CN Benzeneacetamide, N-[2-[[(aminoiminomethyl)amino]oxy]ethyl]-6-cyano-3-[[2,2-difluoro-2-(3-methyl-2-pyridinyl)ethyl]amino]-2-fluoro- (9CI) (CA INDEX NAME)



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CN Benzeneacetamide, N-[2-[[(aminoiminomethyl)amino]oxy]ethyl]-6-cyano-3-[[2,2-difluoro-2-(3-methyl-2-pyridinyl)ethyl]amino]-2-fluoro-, trifluoroacetate (9CI) (CA INDEX NAME)

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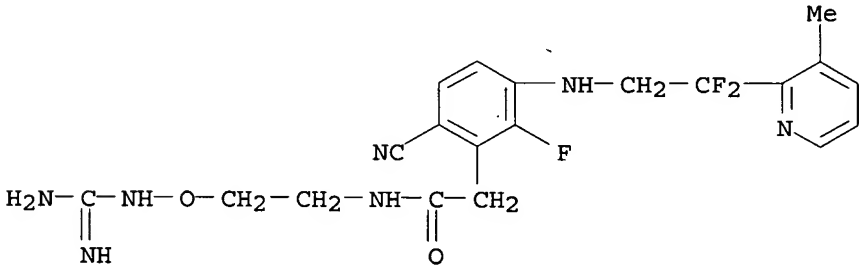

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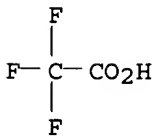

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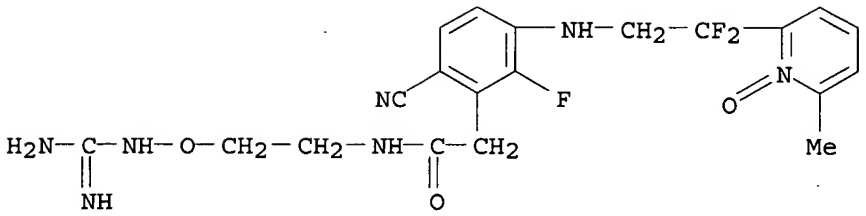
CN Benzeneacetamide, N-[2-[[(aminoiminomethyl)amino]oxy]ethyl]-6-cyano-3-[[2,2-difluoro-2-(6-methyl-1-oxido-2-pyridinyl)ethyl]amino]-2-fluoro- (9CI) (CA INDEX NAME)



RN 780769-89-3 CAPLUS
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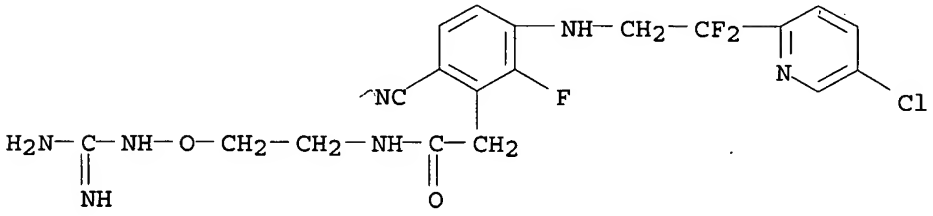
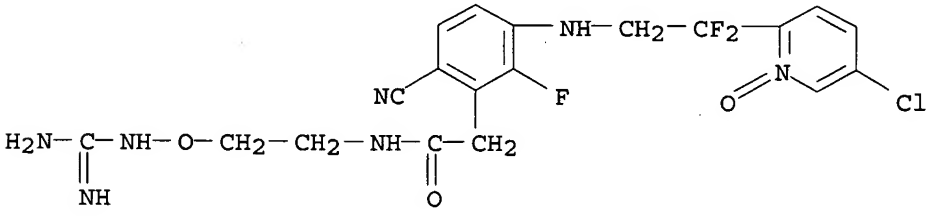


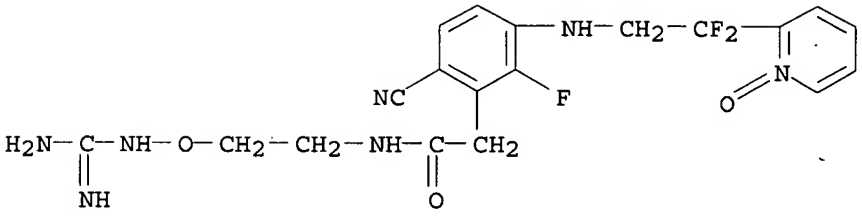
● HCl

RN 780769-96-2 CAPLUS
CN Benzeneacetamide, N-[2-[[(aminoiminomethyl)amino]oxy]ethyl]-3-[[2-(5-chloro-1-oxido-2-pyridinyl)-2,2-difluoroethyl]amino]-6-cyano-2-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)



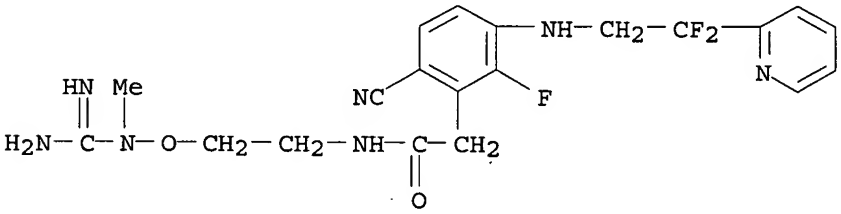
● HCl

RN 780769-98-4 CAPLUS
CN Benzeneacetamide, N-[2-[[(aminoiminomethyl)amino]oxy]ethyl]-6-cyano-3-[[2,2-difluoro-2-(1-oxido-2-pyridinyl)ethyl]amino]-2-fluoro-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RN 780770-03-8 CAPLUS
CN Benzeneacetamide, N-[2-[[(aminoiminomethyl)methylamino]oxy]ethyl]-6-cyano-3-[[2,2-difluoro-2-(2-pyridinyl)ethyl]amino]-2-fluoro- (9CI) (CA INDEX NAME)



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